

## AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in this application.

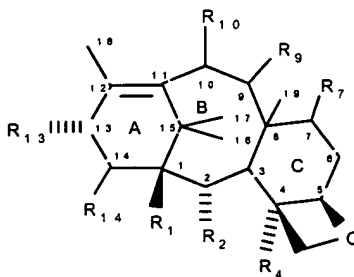
### Listing of Claims:

1. **(currently amended)** A process for the acylation of a C(10) hydroxy group of a taxane having C(7) and C(10) hydroxy groups, the process comprising treating the taxane with an acylating agent in a reaction mixture containing **a Lewis acid and** less than one equivalent of an amine base for each equivalent of taxane to selectively acylate the C(10) hydroxy group.

2. **(cancelled)**

3. **(original)** The process of claim 1 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

4. **(previously amended)** The process of claim 1 wherein the taxane has the structure:



wherein

R<sub>1</sub> is hydrogen, hydroxy, protected hydroxy, or together with R<sub>14</sub> or R<sub>2</sub> forms a carbonate;

**R<sub>2</sub> is keto, -OT<sub>2</sub>, acyloxy, or together with R<sub>1</sub> forms a carbonate;**

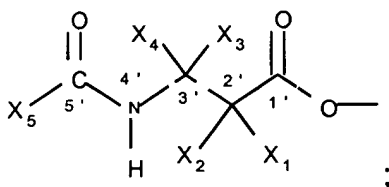
R<sub>4</sub> is -OT<sub>4</sub> or acyloxy;

R<sub>7</sub> is hydroxy;

R<sub>9</sub> is hydrogen, keto, -OT<sub>9</sub>, -OCOOZ<sub>9</sub>, or -OCOOZ<sub>9</sub>;

R<sub>10</sub> is hydroxy;

R<sub>13</sub> is hydroxy, protected hydroxy, keto, or



R<sub>14</sub> is hydrogen, -OT<sub>14</sub>, acyloxy, or together with R<sub>1</sub> forms a carbonate;

T<sub>2</sub>, T<sub>4</sub>, T<sub>7</sub>, T<sub>9</sub> and T<sub>14</sub> are independently hydrogen or hydroxy protecting group;

$X_1$  is  $-OX_6$ ,  $-SX_7$ , or  $-NX_8X_9$ ;

X<sub>2</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X<sub>3</sub> and X<sub>4</sub> are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

$X_5$  is  $-X_{10}$ ,  $-OX_{10}$ ,  $-SX_{10}$ ,  $-NX_8X_{10}$ , or  $-SO_2X_{11}$ ;

X<sub>6</sub> is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

X<sub>7</sub> is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;

**X<sub>8</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;**

X<sub>9</sub> is an amino protecting group;

**X<sub>10</sub> is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;**

X<sub>11</sub> is hydrocarbyl, substituted hydrocarbyl, heteroaryl, -OX<sub>10</sub>, or -NX<sub>8</sub>X<sub>14</sub>;

X<sub>14</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z<sub>9</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

5. **(original)** The process of claim 4 wherein

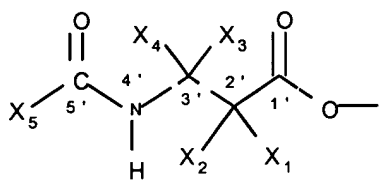
R<sub>1</sub> is hydroxy or together with R<sub>14</sub> or R<sub>2</sub> forms a carbonate;

R<sub>2</sub> is -OCOZ<sub>2</sub>, -OCOOZ<sub>2</sub>, or together with R<sub>1</sub> forms a carbonate;

R<sub>4</sub> is -OCOZ<sub>4</sub>;

R<sub>9</sub> is hydrogen or keto;

R<sub>13</sub> is hydroxy, protected hydroxy, or



R<sub>14</sub> is hydrogen, hydroxy, protected hydroxy, or together with R<sub>1</sub> forms a carbonate;

X<sub>1</sub> is -OX<sub>6</sub> or -NX<sub>8</sub>X<sub>9</sub>;

X<sub>2</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X<sub>3</sub> and X<sub>4</sub> are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X<sub>5</sub> is -X<sub>10</sub>, -OX<sub>10</sub>, or -NX<sub>8</sub>X<sub>10</sub>;

X<sub>6</sub> is a hydroxy protecting group;

X<sub>8</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X<sub>9</sub> is an amino protecting group;

X<sub>10</sub> is hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z<sub>2</sub> and Z<sub>4</sub> are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

6. **(original)** The process of claim 1 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

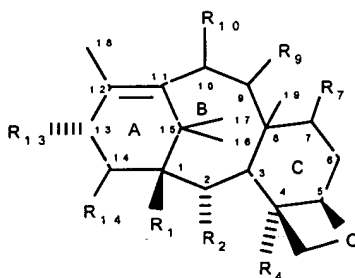
7. (currently amended). The process of claim 6 wherein the reaction mixture contains a Lewis acid selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

8. (original) The process of claim 7 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

9. (currently amended). The process of claim ~~4~~ 6 wherein the reaction mixture contains a Lewis acid selected from the group consisting of zinc chloride, stannic chloride, cerium trichloride, cuprous chloride, lanthanum trichloride, dysprosium trichloride, and ytterbium trichloride.

10. (original) The process of claim 9 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

11. (currently amended) The process of claim ~~9~~ 13 wherein the taxane has the structure:



wherein

R<sub>1</sub> is hydrogen, hydroxy, protected hydroxy, or together with R<sub>14</sub> or R<sub>2</sub> forms a carbonate;

**R<sub>2</sub> is keto, -OT<sub>2</sub>, acyloxy, or together with R<sub>1</sub> forms a carbonate;**

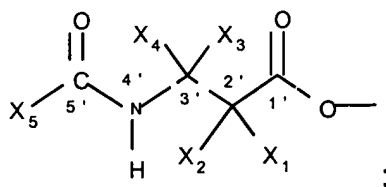
R<sub>4</sub> is -OT<sub>4</sub> or acyloxy;

R<sub>7</sub> is hydroxy;

R<sub>9</sub> is hydrogen, keto, -OT<sub>9</sub>, -OC(O)Z<sub>9</sub>, or -OC(O)OZ<sub>9</sub>;

**R<sub>10</sub> is hydroxy;**

R<sub>13</sub> is hydroxy, protected hydroxy, keto, or



R<sub>14</sub> is hydrogen, -OT<sub>14</sub>, acyloxy, or together with R<sub>1</sub> forms a carbonate;

T<sub>2</sub>, T<sub>4</sub>, T<sub>7</sub>, T<sub>9</sub> and T<sub>14</sub> are independently hydrogen or hydroxy protecting group;

$X_1$  is  $-OX_6$ ,  $-SX_7$ , or  $-NX_8X_9$ ;

X<sub>2</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X<sub>3</sub> and X<sub>4</sub> are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

$X_5$  is  $-X_{10}$ ,  $-OX_{10}$ ,  $-SX_{10}$ ,  $-NX_8X_{10}$ , or  $-SO_2X_{11}$ ;

X<sub>6</sub> is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

X<sub>7</sub> is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;

**X<sub>8</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;**

**X<sub>9</sub> is an-amino protecting group;**

**X<sub>10</sub> is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;**

X<sub>11</sub> is hydrocarbonyl, substituted hydrocarbonyl, heteroaryl, -OX<sub>10</sub>, or -NX<sub>8</sub>X<sub>14</sub>;

X<sub>14</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z<sub>9</sub> is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

12. **(original)** The process of claim 11 wherein

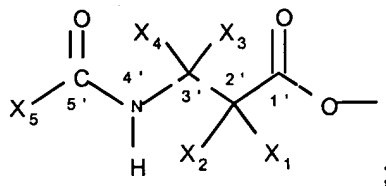
R<sub>1</sub> is hydroxy or together with R<sub>14</sub> or R<sub>2</sub> forms a carbonate;

R<sub>2</sub> is -OCOZ<sub>2</sub>, -OCOOZ<sub>2</sub>, or together with R<sub>1</sub> forms a carbonate;

R<sub>4</sub> is -OCOZ<sub>4</sub>;

R<sub>9</sub> is hydrogen or keto;

R<sub>13</sub> is hydroxy, protected hydroxy, or



R<sub>14</sub> is hydrogen, hydroxy, protected hydroxy, or together with R<sub>1</sub> forms a carbonate;

X<sub>1</sub> is -OX<sub>6</sub> or -NX<sub>8</sub>X<sub>9</sub>;

X<sub>2</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X<sub>3</sub> and X<sub>4</sub> are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X<sub>5</sub> is -X<sub>10</sub>, -OX<sub>10</sub>, or -NX<sub>8</sub>X<sub>10</sub>;

X<sub>6</sub> is a hydroxy protecting group;

X<sub>8</sub> is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X<sub>9</sub> is an amino protecting group;

X<sub>10</sub> is hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z<sub>2</sub> and Z<sub>4</sub> are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

13. **(currently amended)** The process of claim **9 1** wherein the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

14. **(original)** The process of claim 13 wherein the Lewis acid is selected from the group consisting of zinc chloride, stannic chloride, cerium trichloride, cuprous chloride, lanthanum trichloride, dysprosium trichloride, and ytterbium trichloride.

15. **(original)** The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with a silylating agent to silylate the C(7) hydroxy group.

16. **(original)** The process of claim 15 wherein the C(10) acylated taxane is baccatin III.

17. **(original)** The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with an acylating agent to acylate the C(7) hydroxy group.

18. **(original)** The process of claim 17 wherein the C(10) acylated taxane is baccatin III.

19. **(original)** The process of claim 1 wherein the C(10) acylated taxane comprises a C(13) hydroxy, metallic oxide, or ammonium oxide substituent and the process additionally comprises the step of esterifying the C(10) acylated taxane by treating the C(10) acylated taxane with a side chain precursor selected from the group consisting of  $\beta$ -lactams, oxazolines, oxazolidine carboxylic acids, oxazolidine carboxylic acid anhydrides, and isoserine derivatives.

20. **(new)** The process of claim 5 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates;

and the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

21. **(new)** The process of claim 12 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

22. **(new)** The process of claim 14 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

23. **(new)** The process of claim 16 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates; and the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.